N-acetyl glucosamine preparations for buccal use.

Publication number: EP0379753 (A1)
Publication date: 1990-08-01
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Classification:
- international: ***A61K9/00;*** **A61K9/00;** (IPC1-7): A61K9/20; A61K31/70
- European: A61K31/7004; A61K9/00M18B
Application number: EP19890250020 19890828
Priority number(s): US19890302403 19890126

Also published as:

- EP0379753 (B1)
- JP7103033 (B)
- GR3006815 (T3)
- ES2052893 (T3)
- WO9008549 (A1)

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Cited documents:

- DE3602670 (A1)
- FR2016182 (A5)
- EP0178602 (A2)

Abstract of EP 0379753 (A1)

N-acetylglucosamine preparations for oral administration, used to treat degenerative and inflammatory diseases of the articulations and of the connective tissue and stroma, and related diseases.

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N-acetylglucosamine to the buccalen application

The joints of the humans and the vertebrates are extraordinary strong, changeful and partially. civilization-dependently single loads exposed. Much smooth surfaces of the bones at the joint-flat, the excellent lubricity of the Synovialflüssigkeit, as well as elastic, however mechanical strong loadable cartilages and bands ensure particularly in the youth a proper function of the joints. Already in the middle age degenerative processes are to to most loaded joints to observe the knees and hips and the spinal column which lead in many cases also to clinical relevant complaints. Such changes concern first above all the quality of the Synovialflüssigkeit and the cartilages, in later stages are grainings and erosions at the bones themselves to be observed. Pains and limitations of motivity up to the complete stiffener of the joints can be the sequence.

The process of the joint damage can become by many outside influences amplified: Supports of heavy loads, adverse body attitude, complete deficiency at movement, excessive sport etc. Further infections, rheumatoid diseases etc. know wrong nutrition, metabolic diseases. contribute to a rapid progression degenerative joint illnesses or these on bring. In higher age remains hardly someone from corresponding complaints exempted.

The problems with the treatment degenerative joint and run gene are various: The start of the disease does not become recognized. With the occurrence of first complaints still reversible changes are often already hardly present. The causes vary, the mechanism of cartilage degeneration and other pathological processes are usually not known. A causal therapy is rare possible or begins to late.

The therapy of the painful, partly also inflammatory conditions made frequent only symptomatically by not-steroidal Entzundungshemmern, as for example indomethacin or even by use of Kortikoiden. Both groups of therapeutic agents cause serious side effects and should therefore as few as possible used become. Beyond that the risk of an other displacement of the metabolism of the glycosaminoglycans (gags) exists in the direction of an accelerated degradation with the application of the not-steroidal Entzündungshemmer and with the Kortikoiden. Therefore the risk of an acceleration of the degenerative processes, which cause the disease, faces the advantage of the current Linderung of the symptoms of the disease, like pain and immobility of the joints, apart from other risks.

For a long time is known the fact that in contrast to this glycosaminoglycans or also the preliminary stage of a building block of the gags which glucosamine, one causally exercises therapeutic effect. The effect is based on the one hand on an incorporation of the respective building blocks into the gags, on the other hand in a stimulation of the new synthesis of gags by an increase of the concentration of preliminary stages of its synthesis. The possibility exists to affect for the disease causal metabolic process favorable and contribute with it to a healing or zumindestens deceleration of the degenerative procedures, which are the basis for the disease.

Now however the drugs standing for the last mentioned causal therapy for the order are ideal likewise not yet.

From biological material isolated gags exhibit the disadvantage of complex natural products: they are to be defined only severe or hardly unique; their parenteral application is necessary, in order to ensure a sufficient bioavailability, on the other hand however with the long-term therapy nevertheless undesirable. Besides the risk of anaphylaktischer reactions always exists. The limited solubility and the high viscosity concentrated solutions make the administration more difficult in the desirably high dosage.

In place of the natural gags also Glucosaminsulfat became oral, intramuscular and intraartikulär administered with good therapeutic success. Glucosaminsulfat has the major advantage, regarding identity to be purity and stability unique definable compound. Glucosaminsulfat caused as low molecular, natural substance allergies and does not suggest toxic effects in the necessary dosage hardly. On the other hand also Glucosaminsulfat major drawbacks exhibits, like it for example from the basic information Dona TM 200-S of the company Opfermann-Arzneimittel, 5060 Bergisch Gladbach 2, to read off leaves itself:

The oral application form is obviously very much less effective as the intravenous or intramuscular injection. It becomes an oral week dose of 5250 mg recommended, against what parenteral only 1200 mg are necessary. The more effective injection preparation is not in solution sufficient stable at physiological pH value; it will therefore at acidic pH value prepared, stored and supplied, and must before use of the physician neutralized become. For this purpose the Glucosaminsulfatlösung becomes a buffer solution added. Glucosaminsulfatlösung and buffers have altogether with the necessary high dosage and concentration an osmotic pressure so high opposite the blood that additional lidocaine must become added as local anaesthetic. Only by this addition the injection becomes sufficient into the joints pain-poor.

The disadvantage of the too small efficacy oral of administered glucosamines and the small chemical stability attempted salts and salt mixtures specific by the use became to work against. So the efficacy could be improved by glucosamine by the use of mixtures of the sulfate and hydraulic iodide somewhat (Rovaki, 1968, US patent 36 83 076). Senin et al., 1981 of generated particular mixed crystals from NaCl and Glucosaminsulfat, which should be particularly little hygroscopic and sufficient stable (DOS 32 15 844 A 1). The taste becomes however as very bitter indicated.

For the overcoming of the stability problems with the Glucosaminsalzen Rovaki struck et al. 1968 before to use for example N-acetylglucosamine (US patent 36 97 652, DE 17 92 346 C 3). In order to increase the efficacy, became

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a) aqueous solutions to the injection prefered and/or
b) the N-acetylglucosamine the salts Na2SO4 and NaJ added.

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Result Page

1. Buccale application from N-acetylglucosamine to the therapy more degenerative and inflammatory diseases of the joints and the binding and supporting fabric as well as used diseases.

2. Use of N-acetylglucosamine according to claim 1, characterised in that N-acetylglucosamine in solid form as powder, granulates, tablets, elastic or plastic chewing materials used becomes, which remain longer time in the oral cavity.

3. Use of N-acetylglucosamine after at least one of the claims 1 or 2, characterised in that N-acetylglucosamine bottom addition acceptable and conventional taste materials, flavors, pharmaceutical carrier and adjuvants to the stabilization, moulding and control of the release used becomes.

4. Use from N-acetylglucosamine to the preparation one buccal drug for the therapy degenerative diseases of the joints and the binding and supporting fabric as well as used diseases, which can be used.

5. Use from N-acetylglucosamine to the preparation of a drug according to claim 4, characterised in that N-acetylglucosamine in more solid, more semisolid or liquid form as well as pharmaceutical acceptable solution, carrier and/or adjuvants used becomes.

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FIG. 1

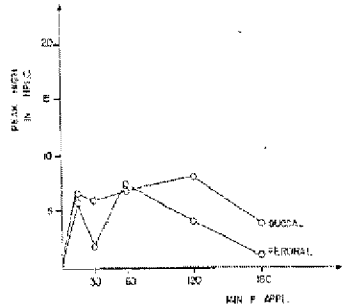

FIG. 2